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Terms	Documents
(hspc same cholesterol same dspg) and cisplatin	12

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<u>L5</u>	(hspc same cholesterol same dspg) and cisplatin	12	<u>L5</u>
<u>L4</u>	hspc same cholesterol same cisplatin same dspg	0	<u>L4</u>
<u>L3</u>	dmpc same cholesterol same cisplatin same dspg	0	<u>L3</u>
<u>L2</u>	dopc same cholesterol same cisplatin	1	<u>L2</u>
<u>L1</u>	liposome same dopc same cholesterol same cisplatin	0	<u>L1</u>

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L5: Entry 10 of 12

File: PGPB

Mar 21, 2002

DOCUMENT-IDENTIFIER: US 20020034538 A1

TITLE: Liposomal benzoquinazolne thymidylate synthase inhibitor formulations

Detail Description Paragraph:

[0086] Inhibition of the growth of tumors associated with all cancers is contemplated by this invention, including multiple drug resistant cancer. Cancers for which the described liposomal formulations may be particularly useful in inhibiting are colorectal, ovarian, lung, breast, head and neck, prostate, uteran, glioblastoma, and sarcomas. In addition, it is contemplated that the formulations described and claimed herein can be used in combination with other anticancer treatments, including, but not limited to, 1) taxol (paclitaxel) and platinum complexes for treating ovarian cancer; 2) 5FU and leucovorin or levamisole for treating colorectal cancer; 3) cisplatin and etoposide for treating lung, 4) topo I inhibitors such as topotecan, irinotecan, and NX211, and 5) anthracyclines, such as doxorubicin or doxil.

Detail Description Table CWU:

3TABLE 1B Additional GW1843 Liposomal Formulations GW1843 concen- tration Median
Molar mg/ml Dia- Preparation Ratio of in final meter I.D. Lipids lipids product nm
pH AL1230-058 HSPC: Cholesterol 4:1 2.7 29 6.5 AL1230-052 DOPC: Cholesterol 2:1 1.4
30 6.3 AL1230-048 DEPC: Cholesterol 2:1 1.9 26 6.9 AL1230-055 Soy-PC: Cholesterol
2:1 1.5 43 6.6 AL1230-041 HSPC: Cholesterol: 2:1:0.1 3.6 43 6.3 DSPG

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Terms	Documents
L1 and phosphatidylcholine	3

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L2 L1 and phosphatidylcholine 3 L2

L1 liposome same cisplatin same phosphatidylglycerol 3 L1

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L2: Entry 3 of 3

File: USPT

Aug 31, 1999

DOCUMENT-IDENTIFIER: US 5945122 A

TITLE: Liposomes containing a cisplatin compound

Brief Summary Text (27):

In a preferred embodiment, the vesicle forming lipid is hydrogenated soy phosphatidylcholine and the derivatized vesicle forming lipid is distearyl phosphatidylethanolamine derivatized with polyethylene glycol.

Detailed Description Text (9):

The vesicle-forming lipids of this type are preferably ones having two hydrocarbon chains, typically acyl chains, and a polar head group. There are a variety of synthetic vesicle-forming lipids and naturally-occurring vesicle-forming lipids, including the phospholipids, such as phosphatidylcholine (PC), phosphatidylethanolamine (PE), phosphatidic acid (PA), phosphatidylinositol (PI), and sphingomyelin (SM), where the two hydrocarbon chains are typically between about 14-22 carbon atoms in length, and have varying degrees of unsaturation. A preferred lipid for use in the present invention is hydrogenated soy phosphatidylcholine (HSPC).

Detailed Description Text (56):

In the present invention, the liposome composition is typically prepared with between about 25-80 mole percent vesicle-forming lipids, 10-40 mole percent cholesterol, and 1-20 mole percent polymer-derivatized lipid. One exemplary liposome formulation includes hydrogenated soy phosphatidylcholine (HSPC) and cholesterol (Chol), in about a 1:1 molar ratio, and between about 1-5 mole % of DSPE-PEG, added to form liposomes with an inner and outer bilayer surface coating of PEG.

Detailed Description Text (141):

Cisplatin-containing liposomes were prepared with no inner and outer surface coating of hydrophilic polymer chains for comparison to the liposomes of the present invention. Comparative liposomes were prepared as described in Example 3, except distearyl phosphatidylglycerol (DSPG) was substituted for the PEG-DSPE derivative, e.g., the liposome composition consisted of HSPC/Chol/DSPG in a molar ratio of 50.6/44.3/5.1.

CLAIMS:

5. The composition of claim 1, wherein said vesicle forming lipid is hydrogenated soy phosphatidylcholine and said derivatized vesicle forming lipid is distearyl phosphatidylethanolamine derivatized with polyethylene glycol.

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Terms	Documents
depc same dspg	5

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L6

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 result set

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<u>L6</u>	depc same dspg	5	<u>L6</u>
<u>L5</u>	L4 and depc	1	<u>L5</u>
<u>L4</u>	liposome same cholesterol same dspg	123	<u>L4</u>
<u>L3</u>	liposome same depc same cholesterol same dspg	1	<u>L3</u>
<u>L2</u>	liposome same hspc same cholesterol same dspg	5	<u>L2</u>
<u>L1</u>	liposome same depc same cholesterol	4	<u>L1</u>

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L1: Entry 2 of 4

File: USPT

Jul 16, 1991

DOCUMENT-IDENTIFIER: US 5032404 A

** See image for [Certificate of Correction](#) **

TITLE: Liposome-incorporation of polyenes

Detailed Description Text (72):

The respective MTD's (mg/kg) obtained for the various liposomal-mepartricin indicate the inclusion of cholesterol precludes an immediate toxicity, with the exception of the DEPC:chol (9:1) mepartricin liposome. Of these, particular lipid compositions were found to buffer the toxicity significantly. These were PC:chol (9:1), DOPC:PE:chol (7:3:1) and DEPC:PE:chol (7:3:1). FIGS. 9 and 10 show the survival rate and in vitro toxicity of free versus liposome-encapsulated large polyenes, including mepartricin.

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L1: Entry 3 of 4

File: USPT

Mar 12, 1991

DOCUMENT-IDENTIFIER: US 4999199 A

**** See image for Certificate of Correction ****

TITLE: Pharmaceutical formulations: liposomes incorporating aromatic polyene antibiotics

Detailed Description Text (10):

Candicidin was obtained from Dumex Co. (Copenhagen, Denmark) and was encapsulated in liposomes as follows: The lipids Egg phosphatidylcholine (EggPC), dimyristoyl phosphatidylcholine (DMPC), dimyristoyl phosphatidylglycerol (DMPG), dielaidoylphosphatidylcholine (DEPC) phosphatidylthanolamine (PE), dioleoylphosphatidylcholine (DOPC), distearoylphosphatidylcholine (DSPC), dipalmitoylphosphatidylcholine (DPPC) and cholesterol, were obtained from Avanti Polar lipids (Birmingham, Ala).

Detailed Description Text (11):

Candicidin was dissolved in methanol at a concentration of 30 mg/ml, and the candicidin solution was added to the DMPC/cholesterol, the latter two lipids being mixed in a ratio of 9:1. The mixture was placed in a rotary evaporator, until the organic solvents were completely removed. Sterile normal saline was then added and liposomes were obtained by gentle manual agitation. In another experiment, the candicidin solution was added to EggPC/cholesterol, the latter two lipids being mixed in a ratio of 9:1. In a third experiment, the candicidin solution was added to DMPC/DMPG, the latter two lipids being mixed in a ratio of 7:3. In subsequent experiments, the candicidin solution was added to DPPC/PE/cholesterol, or DSPC/PE/cholesterol, or DEPC/PE/cholesterol in a ratio of 6.5:2.5:1 and to DOPC/PE/cholesterol in a ratio of 6:3:1.

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L2: Entry 4 of 5

File: USPT

Jun 2, 1998

DOCUMENT-IDENTIFIER: US 5759571 A

TITLE: Antibiotic formulation and use for drug resistant infections

CLAIMS:

11. A composition for treating a bacterial infection in a patient consisting essentially of amikacin encapsulated in liposomes, wherein the liposomes are comprised of cholesterol, HSPC, and DSPG wherein HSPC:cholesterol:DSPG are in a molar ratio of about 2:1:0.1 wherein the amikacin to total lipid molar ratio is from 1:9 to 1:3 and wherein said liposomes consist of unilamellar vesicles having an average size of less than 100 nm.

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L6: Entry 3 of 5

File: PGPB

Mar 25, 2004

DOCUMENT-IDENTIFIER: US 20040057990 A1

TITLE: Liposomal benzoquinazoline thymidylate synthase inhibitor formulations

Detail Description Table CWU:

3TABLE 1B Additional GW1843 Liposomal Formulations GW1843 Molar concentration
Median Ratio of mg/ml in final Diameter Preparation I.D. Lipids lipids product nm
pH AL1230-058 HSPC:Cholesterol 4:1 2.7 29 6.5 AL1230-052 DOPC:Cholesterol 2:1 1.4
30 6.3 AL1230-048 DEPC:Cholesterol 2:1 1.9 26 6.9 AL1230-055 Soy-PC:Cholesterol 2:1
1.5 43 6.6 AL1230-041 HSPC:Cholesterol:DSPG 2:1:0.1 3.6 43 6.3

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L6: Entry 4 of 5

File: PGPB

Mar 21, 2002

DOCUMENT-IDENTIFIER: US 20020034538 A1

TITLE: Liposomal benzoquinazolne thymidylate synthase inhibitor formulations

Detail Description Table CWU:

3TABLE 1B Additional GW1843 Liposomal Formulations GW1843 concen- tration Median
Molar mg/ml Dia- Preparation Ratio of in final meter I.D. Lipids lipids product nm
pH AL1230-058 HSPC: Cholesterol 4:1 2.7 29 6.5 AL1230-052 DOPC: Cholesterol 2:1 1.4
30 6.3 AL1230-048 DEPC: Cholesterol 2:1 1.9 26 6.9 AL1230-055 Soy-PC: Cholesterol
2:1 1.5 43 6.6 AL1230-041 HSPC: Cholesterol: 2:1:0.1 3.6 43 6.3 DSPG

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